

## SEARCH REQUEST FORM

Scientific and Technical Information Center

Requester's Full Name: Deborah Hamblin Examiner #: 71300 Date: 3/31/05  
 Art Unit: 1626 Phone Number 302-0698 Serial Number: 101612150  
 Mail Box and Bldg/Room Location: RLM 5B09 Results Format Preferred (circle): PAPER DISK E-MAIL

5618

If more than one search is submitted, please prioritize searches in order of need.

M EJ'

Please provide a detailed statement of the search topic, and describe as specifically as possible the subject matter to be searched. Include the elected species or structures, keywords, synonyms, acronyms, and registry numbers, and combine with the concept or utility of the invention. Define any terms that may have a special meaning. Give examples or relevant citations, authors, etc, if known. Please attach a copy of the cover sheet, pertinent claims, and abstract.

Title of Invention: CCK-1 Receptor ModulatorsInventors (please provide full names): Barrett et al

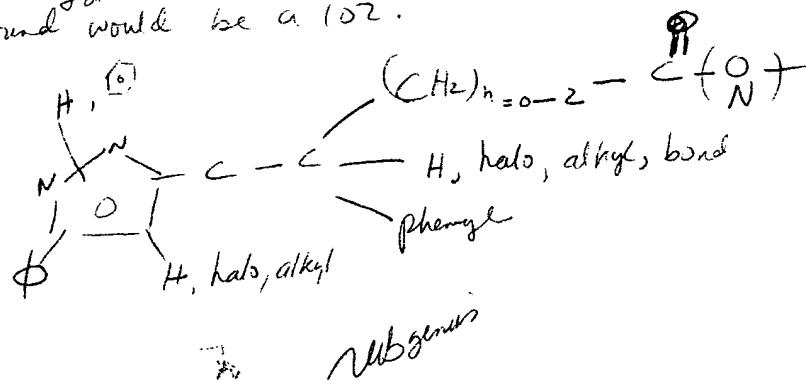
Earliest Priority Filing Date:

\*For Sequence Searches Only\* Please include all pertinent information (parent, child, divisional, or issued patent numbers) along with the appropriate serial number.

EX 127 elected see attached page. Please Search.

Generic claim I attached but not provided yet compounds  
on pgs 267 - 268 - basically "CH3O-C(=O)-N(Ar)-CH2-C(=O)-C(=O)-OC(=O)Ar"

Simplest compound <sup>to answer variants</sup> would be a 102.



Thanks DR

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APR 1 2005  
(STC)

## STAFF USE ONLY

Searcher: MBR3

## Type of Search

## Vendors and cost where applicable

Searcher Phone #: \_\_\_\_\_

NA Sequence (#) \_\_\_\_\_

STN \_\_\_\_\_

294

Searcher Location: \_\_\_\_\_

AA Sequence (#) \_\_\_\_\_

Dialog \_\_\_\_\_

Date Searcher Picked Up: 4-11-05Structure (#) 2

Questel/Orbit \_\_\_\_\_

Date Completed: 4-11-05

Bibliographic \_\_\_\_\_

Dr.Link \_\_\_\_\_

Searcher Prep & Review Time: 30

Litigation \_\_\_\_\_

Lexis/Nexis \_\_\_\_\_

Clerical Prep Time: \_\_\_\_\_

Fulltext \_\_\_\_\_

Sequence Systems \_\_\_\_\_

Online Time: 11

Patent Family \_\_\_\_\_

WWW/Internet \_\_\_\_\_



# STIC Search Report

## Biotech-Chem Library

STIC Database Tracking Number: 149523

TO: Deborah Lambkin  
Location: rem/5b09/5c18  
Art Unit: 1626  
Monday, April 11, 2005

Case Serial Number: 10/612150

From: Barb O'Bryen  
Location: Biotech-Chem Library  
Remsen 1a69  
Phone: 571-272-2518  
*BOB*  
[barbara.obryen@uspto.gov](mailto:barbara.obryen@uspto.gov)

### Search Notes

=> d his full

(FILE 'HOME' ENTERED AT 15:01:04 ON 11 APR 2005)

FILE 'REGISTRY' ENTERED AT 15:01:27 ON 11 APR 2005

L1 STR

L2 10 SEA SSS SAM L1

FILE 'CAPLUS' ENTERED AT 15:05:38 ON 11 APR 2005

L3 2 SEA ABB=ON L2

FILE 'REGISTRY' ENTERED AT 15:05:43 ON 11 APR 2005

L4 450 SEA SSS FUL L1

SAVE TEMP L4 LAM150FULL/A

E C28H19CL3N2O2/MF

L5 1 SEA ABB=ON C28H19CL3N2O2/MF AND L4

FILE 'REGISTRY' ENTERED AT 15:06:34 ON 11 APR 2005

D IDE

FILE 'CAPLUS, USPATFULL, TOXCENTER' ENTERED AT 15:06:46 ON 11 APR 2005

L6 6 SEA ABB=ON L5

L7 5 DUP REM L6 (1 DUPLICATE REMOVED)

ANSWERS '1-2' FROM FILE CAPLUS

ANSWERS '3-5' FROM FILE USPATFULL

D IBIB ED ABS HITSTR 1-5

FILE 'CAPLUS' ENTERED AT 15:07:26 ON 11 APR 2005

L8 7 SEA ABB=ON L4

FILE 'REGISTRY' ENTERED AT 15:07:30 ON 11 APR 2005

L9 ANALYZE L4 1- LC : 7 TERMS

D

FILE 'REGISTRY' ENTERED AT 15:08:23 ON 11 APR 2005

D STAT QUE L4

FILE 'CAPLUS, USPATFULL, TOXCENTER' ENTERED AT 15:08:32 ON 11 APR 2005

L10 15 SEA ABB=ON L4

L11 12 DUP REM L10 (3 DUPLICATES REMOVED)

ANSWERS '1-7' FROM FILE CAPLUS

ANSWERS '8-12' FROM FILE USPATFULL

D IBIB ED ABS HITSTR 1-12

FILE 'CAOLD' ENTERED AT 15:10:31 ON 11 APR 2005

L12 1 SEA ABB=ON L4

D IALL HITSTR L12

FILE 'HOME' ENTERED AT 15:10:53 ON 11 APR 2005

FILE 'CAOLD' ENTERED AT 15:11:04 ON 11 APR 2005

D L12 PAGE

D SAVED

FILE HOME

FILE REGISTRY

Property values tagged with IC are from the ZIC/VINITI data file  
provided by InfoChem.

STRUCTURE FILE UPDATES: 10 APR 2005 HIGHEST RN 848184-66-7  
DICTIONARY FILE UPDATES: 10 APR 2005 HIGHEST RN 848184-66-7

TSCA INFORMATION NOW CURRENT THROUGH JANUARY 18, 2005

Please note that search-term pricing does apply when conducting SmartSELECT searches.

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\*  
\* The CA roles and document type information have been removed from \*  
\* the IDE default display format and the ED field has been added, \*  
\* effective March 20, 2005. A new display format, IDERL, is now. \*  
\* available and contains the CA role and document type information. \*  
\*  
\*\*\*\*\*

Crossover limits have been increased. See HELP CROSSOVER for details.

Experimental and calculated property data are now available. For more information enter HELP PROP at an arrow prompt in the file or refer to the file summary sheet on the web at:  
<http://www.cas.org/ONLINE/DBSS/registryss.html>

FILE CAPLUS

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FILE COVERS 1907 - 11 Apr 2005 VOL 142 ISS 16  
FILE LAST UPDATED: 10 Apr 2005 (20050410/ED)

This file contains CAS Registry Numbers for easy and accurate substance identification.

FILE USPATFULL

FILE COVERS 1971 TO PATENT PUBLICATION DATE: 7 Apr 2005 (20050407/PD)  
FILE LAST UPDATED: 7 Apr 2005 (20050407/ED)  
HIGHEST GRANTED PATENT NUMBER: US6877166  
HIGHEST APPLICATION PUBLICATION NUMBER: US2005076416  
CA INDEXING IS CURRENT THROUGH 7 Apr 2005 (20050407/UPCA)  
ISSUE CLASS FIELDS (/INCL) CURRENT THROUGH: 7 Apr 2005 (20050407/PD)  
REVISED CLASS FIELDS (/NCL) LAST RELOADED: Feb 2005  
USPTO MANUAL OF CLASSIFICATIONS THESAURUS ISSUE DATE: Feb 2005

>>> USPAT2 is now available. USPATFULL contains full text of the <<<  
>>> original, i.e., the earliest published granted patents or <<<  
>>> applications. USPAT2 contains full text of the latest US <<<  
>>> publications, starting in 2001, for the inventions covered in <<<  
>>> USPATFULL. A USPATFULL record contains not only the original <<<  
>>> published document but also a list of any subsequent <<<

>>> publications. The publication number, patent kind code, and <<<  
>>> publication date for all the US publications for an invention <<<  
>>> are displayed in the PI (Patent Information) field of USPATFULL <<<  
>>> records and may be searched in standard search fields, e.g., /PN, <<<  
>>> /PK, etc. <<<

>>> USPATFULL and USPAT2 can be accessed and searched together <<<  
>>> through the new cluster USPATALL. Type FILE USPATALL to <<<  
>>> enter this cluster. <<<

>>> <<<  
>>> Use USPATALL when searching terms such as patent assignees, <<<  
>>> classifications, or claims, that may potentially change from <<<  
>>> the earliest to the latest publication. <<<

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FILE TOXCENTER

FILE COVERS 1907 TO 5 Apr 2005 (20050405/ED)

This file contains CAS Registry Numbers for easy and accurate substance identification.

TOXCENTER has been enhanced with new files segments and search fields. See HELP CONTENT for more information.

TOXCENTER thesauri in the /CN, /CT, and /MN fields incorporate the MeSH 2005 vocabulary. See <http://www.nlm.nih.gov/mesh/> and [http://www.nlm.nih.gov/pubs/techbull/nd04/nd04\\_mesh.html](http://www.nlm.nih.gov/pubs/techbull/nd04/nd04_mesh.html) for a description of changes.

FILE CAOLD

FILE COVERS 1907-1966

FILE LAST UPDATED: 01 May 1997 (19970501/UP)

This file contains CAS Registry Numbers for easy and accurate substance identification. Title keywords, authors, patent assignees, and patent information, e.g., patent numbers, are now searchable from 1907-1966. TIFF images of CA abstracts printed between 1907-1966 are available in the PAGE display formats.

This file supports REG1stRY for direct browsing and searching of all substance data from the REGISTRY file. Enter HELP FIRST for more information.

=>

combined organic layers were dried ( $\text{MgSO}_4$ ) and then concentrated to provide a yellow oil. The oil was purified by preparative reversed-phase HPLC (acetonitrile/water) to afford the pure alkane as a colorless oil (10 mg, 23%). TLC (silica gel, 9:1  $\text{CH}_2\text{Cl}_2/\text{MeOH}$ ):  $R_f = 0.43$ . HPLC:  $R_t = 10.7$  (Method A).

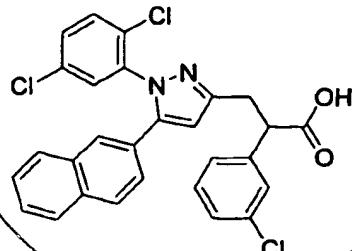
5 MS (ESI): mass calculated for  $\text{C}_{26}\text{H}_{21}\text{Cl}_3\text{N}_2\text{O}_3$ , 514.06;  $m/z$  found, 513 [ $\text{M}-\text{H}$ ]<sup>+</sup>.  
<sup>1</sup>H NMR (400 MHz,  $\text{CDCl}_3$ ): 7.32-7.23 (m, 6H), 7.14-7.10 (m, 2H), 6.92-6.89 (m, 1H), 6.88-6.85 (m, 2H), 6.23 (s, 1H), 4.03 (q,  $J = 6.9$  Hz, 2H), 4.04-4.00 (m, 1H), 3.50 (dd,  $J = 6.7, 14.7$  Hz, 1H), 3.09 (dd,  $J = 8.7, 14.7$  Hz, 1H), (1.42 (t,  $J = 7.0$  Hz, 3H),

10

The compounds of Examples 127 and 128 were made according to the synthetic methods outlined in Example 126 and Scheme H.

15

**Example 127**



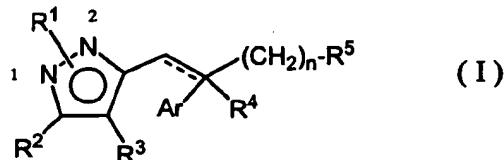
2-(3-Chloro-phenyl)-3-[1-(2,5-dichloro-phenyl)-5-naphthalen-2-yl-1H-pyrazol-3-yl]-propionic acid.

HPLC:  $R_t = 4.77$  (Method B). MS (ESI): mass calculated for  $\text{C}_{28}\text{H}_{19}\text{Cl}_3\text{N}_2\text{O}_2$ , 520.05;  $m/z$  found, 521/523 [ $\text{M}+\text{H}$ ]<sup>+</sup>. <sup>1</sup>H NMR (400 MHz,  $\text{CDCl}_3$ ): 7.79-7.77 (m, 1H), 7.73-7.68 (m, 2H), 7.61-7.60 (m, 1H), 7.48-7.46 (m, 3H), 7.38-7.37 (m, 1H), 7.31-7.26 (m, 4H), 7.20 (dd,  $J = 8.5, 1.8$  Hz, 1H), 6.35 (s, 1H), 4.16 (dd,  $J = 8.3, 7.0$  Hz, 1H), 3.54 (dd,  $J = 14.8, 8.3$  Hz, 1H), 3.19 (dd,  $J = 14.8, 7.0$  Hz, 1H).

101612, 150

What is claimed is:

## 1. A CCK-1 receptor antagonist of the general formula:



5

wherein,

R¹ is a 1- or 2-position substituent selected from the group consisting of hydrogen,

- a) phenyl, optionally mono-, di- or tri-substituted with R² or  
10 di-substituted on adjacent carbons with -OC<sub>1-4</sub>alkyleneO-,  
-(CH<sub>2</sub>)<sub>2-3</sub>NH-, -(CH<sub>2</sub>)<sub>1-2</sub>NH(CH<sub>2</sub>)-, -(CH<sub>2</sub>)<sub>2-3</sub>N(C<sub>1-4</sub>alkyl)- or  
-(CH<sub>2</sub>)<sub>1-2</sub>N(C<sub>1-4</sub>alkyl)(CH<sub>2</sub>);  
R² is selected from the group consisting of -OH, -C<sub>1-6</sub>alkyl,  
-OC<sub>1-6</sub>alkyl, phenyl, -O-phenyl, benzyl, -O-benzyl, -C<sub>3-6</sub>cycloalkyl,  
15 -OC<sub>3-6</sub>cycloalkyl, -CN, -NO<sub>2</sub>, -N(R<sup>y</sup>)R<sup>z</sup> (wherein R<sup>y</sup> and R<sup>z</sup> are independently selected from H, C<sub>1-6</sub>alkyl or C<sub>1-6</sub>alkenyl, or R<sup>y</sup> and R<sup>z</sup> may be taken together with the nitrogen of attachment to form an otherwise aliphatic hydrocarbon ring, said ring having 4 to 7 members, optionally having one carbon replaced with >O, =N-, >NH or >N(C<sub>1-4</sub>alkyl), optionally having one carbon substituted with -OH, and optionally having one or two unsaturated bonds in the ring), -(C=O)N(R<sup>y</sup>)R<sup>z</sup>, -(N-R<sup>t</sup>)COR<sup>t</sup>, -(N-R<sup>t</sup>)SO<sub>2</sub>C<sub>1-6</sub>alkyl  
20 (wherein R<sup>t</sup> is H or C<sub>1-6</sub>alkyl or two R<sup>t</sup> in the same substituent may be taken together with the amide of attachment to form an otherwise aliphatic hydrocarbon ring, said ring having 4 to 6 members), -(C=O)C<sub>1-6</sub>alkyl, -(S=(O)<sub>n</sub>)C<sub>1-6</sub>alkyl (wherein n is selected from 0, 1 or 2), -SO<sub>2</sub>N(R<sup>y</sup>)R<sup>z</sup>, -SCF<sub>3</sub>, halo, -CF<sub>3</sub>, -OCF<sub>3</sub>, -COOH and -COOC<sub>1-6</sub>alkyl;
- b) phenyl or pyridyl fused at two adjacent ring members to a three membered hydrocarbon moiety to form a fused five membered

aromatic ring, which moiety has one carbon atom replaced by >O, >S, >NH or >N(C<sub>1-4</sub>alkyl) and which moiety has up to one additional carbon atom optionally replaced by N, the fused rings optionally mono-, di- or tri-substituted with R<sup>P</sup>;

5       c) phenyl fused at two adjacent ring members to a four membered hydrocarbon moiety to form a fused six membered aromatic ring, which moiety has one or two carbon atoms replaced by N, the fused rings optionally mono-, di- or tri-substituted with R<sup>P</sup>;

      d) naphthyl, optionally mono-, di- or tri-substituted with R<sup>P</sup>;

10      e) a monocyclic aromatic hydrocarbon group having five ring atoms, having a carbon atom which is the point of attachment, having one carbon atom replaced by >O, >S, >NH or >N(C<sub>1-4</sub>alkyl), having up to two additional carbon atoms optionally replaced by N, optionally mono- or di-substituted with R<sup>P</sup> and optionally benzo fused on the condition that two or fewer of said carbon ring atoms are replaced by a heteroatom, where the benzo fused moiety is optionally mono- di- or tri-substituted with R<sup>P</sup>;

15      f) a monocyclic aromatic hydrocarbon group having six ring atoms, having a carbon atom which is the point of attachment, having one or two carbon atoms replaced by N, having one N optionally oxidized to the N-oxide, optionally mono- or di-substituted with R<sup>P</sup> and optionally benzo fused, where the benzo fused moiety is optionally mono- or di-substituted with R<sup>P</sup>;

20      g) adamantanyl or monocyclic C<sub>5-7</sub>cycloalkyl, optionally having one or two carbon members optionally replaced with >O, >NH or >N(C<sub>1-4</sub>alkyl) and optionally having one or two unsaturated bonds in the ring and optionally having one of the ring atoms substituted with -OH, =O or -CH<sub>3</sub>;

25      h) a C<sub>1-8</sub>alkyl;

30      i) C<sub>1-4</sub>alkyl, mono-substituted by a substituent selected from the group consisting of any one of a) to g);

R<sup>2</sup> is selected from the group consisting of:

      i) phenyl, optionally mono-, di- or tri- substituted with R<sup>q</sup> or di-substituted on adjacent carbons with -OC<sub>1-4</sub>alkyleneO-,

-(CH<sub>2</sub>)<sub>2-3</sub>NH-, -(CH<sub>2</sub>)<sub>1-2</sub>N(CH<sub>2</sub>)-, -(CH<sub>2</sub>)<sub>2-3</sub>N(C<sub>1-4</sub>alkyl)- or  
-(CH<sub>2</sub>)<sub>1-2</sub>N(C<sub>1-4</sub>alkyl)(CH<sub>2</sub>);

$R^q$  is selected from the group consisting of -OH, -C<sub>1-6</sub>alkyl,  
-OC<sub>1-6</sub>alkyl, phenyl, -Ophenyl, benzyl, -Obenzyl, -C<sub>3-6</sub>cycloalkyl,  
-OC<sub>3-6</sub>cycloalkyl, -CN, -NO<sub>2</sub>, -N(R<sup>y</sup>)R<sup>z</sup> (wherein R<sup>y</sup> and R<sup>z</sup> are  
independently selected from H, C<sub>1-6</sub>alkyl, C<sub>1-6</sub>alkenyl, or R<sup>y</sup> and  
R<sup>z</sup> may be taken together with the nitrogen of attachment to  
form an otherwise aliphatic hydrocarbon ring, said ring having 4  
to 7 members, optionally having one carbon replaced with >O,  
=N-, >NH or >N(C<sub>1-4</sub>alkyl), optionally having one carbon  
substituted with -OH, and optionally having one or two  
unsaturated bonds in the ring, -(C=O)N(R<sup>y</sup>)R<sup>z</sup>, -(N-R<sup>t</sup>)COR<sup>t</sup>,  
-(N-R<sup>t</sup>)SO<sub>2</sub>C<sub>1-6</sub>alkyl (wherein R<sup>t</sup> is H or C<sub>1-6</sub>alkyl or two R<sup>t</sup> in the  
same substituent may be taken together with the amide of  
attachment to form an otherwise aliphatic hydrocarbon ring,  
said ring having 4 to 6 members), -(C=O)C<sub>1-6</sub>alkyl,  
-(S=(O)<sub>n</sub>)-C<sub>1-6</sub>alkyl (wherein n is selected from 0, 1 or 2),  
-SO<sub>2</sub>N(R<sup>y</sup>)R<sup>z</sup>, -SCF<sub>3</sub>, halo, -CF<sub>3</sub>, -OCF<sub>3</sub>, -COOH and  
-COOC<sub>1-6</sub>alkyl;

ii) phenyl or pyridyl fused at two adjacent ring members to a three  
membered hydrocarbon moiety to form a fused five membered  
aromatic ring, which moiety has one carbon atom replaced by >O,  
>S, >NH or >N(C<sub>1-4</sub>alkyl) and which moiety has up to one additional  
carbon atom optionally replaced by N, the fused rings optionally  
mono-, di- or tri-substituted with R<sup>q</sup>;

iii) phenyl fused at two adjacent ring members to a four membered  
hydrocarbon moiety to form a fused six membered aromatic ring,  
which moiety has one or two carbon atoms replaced by N, the fused  
rings optionally mono-, di- or tri-substituted with R<sup>q</sup>;

iv) naphthyl, optionally mono-, di- or tri-substituted with R<sup>q</sup>;

v) a monocyclic aromatic hydrocarbon group having five ring atoms,  
having a carbon atom which is the point of attachment, having one  
carbon atom replaced by >O, >S, >NH or >N(C<sub>1-6</sub>alkyl), having up to  
one additional carbon atoms optionally replaced by N, optionally

mono- or di-substituted with R<sup>q</sup> and optionally benzo fused on the condition that two or fewer of said carbon ring atoms are replaced by a heteroatom, where the benzo fused moiety is optionally mono- di- or tri-substituted with R<sup>q</sup>; and

5 vi) a monocyclic aromatic hydrocarbon group having six ring atoms, having a carbon atom which is the point of attachment, having one or two carbon atoms replaced by N, having one N optionally oxidized to the N-oxide, optionally mono- or di-substituted with R<sup>p</sup> and optionally benzo fused, where the benzo fused moiety is optionally mono- or  
10 di-substituted with R<sup>q</sup>;

R<sup>3</sup> is selected from the group consisting of H, halo, and C<sub>1-6</sub>alkyl;

n is selected from 0, 1, or 2, with the proviso that where R<sup>5</sup> is attached through  
-S-, the n is 1 or 2;

15 R<sup>4</sup> is selected from the group consisting of H, halo or C<sub>1-6</sub>alkyl or a covalent bond in the case where the a double bond is present in the above structure;

Ar is selected from the group consisting of:

A) phenyl, optionally mono-, di- or tri-substituted with R<sup>r</sup> or di-substituted on adjacent carbons with -OC<sub>1-4</sub>alkyleneO-,  
20 -(CH<sub>2</sub>)<sub>2-3</sub>NH-, -(CH<sub>2</sub>)<sub>1-2</sub>NH(CH<sub>2</sub>)-, -(CH<sub>2</sub>)<sub>2-3</sub>N(C<sub>1-4</sub>alkyl)- or -(CH<sub>2</sub>)<sub>1-2</sub>N(C<sub>1-4</sub>alkyl)(CH<sub>2</sub>)-;  
R<sup>r</sup> is selected from the group consisting of -OH, -C<sub>1-6</sub>alkyl,  
-OC<sub>1-6</sub>alkyl, phenyl, -Ophenyl, benzyl, -Obenzyl, -C<sub>3-6</sub>cycloalkyl,  
-OC<sub>3-6</sub>cycloalkyl, -CN, -NO<sub>2</sub>, -N(R<sup>y</sup>)R<sup>z</sup> (wherein R<sup>y</sup> and R<sup>z</sup> are  
25 independently selected from H, C<sub>1-6</sub>alkyl or C<sub>1-6</sub>alkenyl, or R<sup>y</sup> and R<sup>z</sup> may be taken together with the nitrogen of attachment to form an otherwise aliphatic hydrocarbon ring, said ring having 4 to 7 members, optionally having one carbon replaced with >O, =N-, >NH or >N(C<sub>1-4</sub>alkyl), optionally having one carbon substituted with -OH, and optionally having one or two unsaturated bonds in the ring), -(C=O)N(R<sup>y</sup>)R<sup>z</sup>, -(N-R<sup>t</sup>)COR<sup>t</sup>, -(N-R<sup>t</sup>)SO<sub>2</sub>C<sub>1-6</sub>alkyl  
30 (wherein R<sup>t</sup> is H or C<sub>1-6</sub>alkyl or two R<sup>t</sup> in the same substituent may be taken together with the amide of attachment to form an otherwise aliphatic hydrocarbon ring, said ring having 4 to 6

members),  $-(C=O)C_{1-6}\text{alkyl}$ ,  $-(S=(O)_n)-C_{1-6}\text{alkyl}$  (wherein n is selected from 0, 1 or 2),  $-\text{SO}_2\text{N}(\text{R}^y)\text{R}^z$ ,  $-\text{SCF}_3$ , halo,  $-\text{CF}_3$ ,  $-\text{OCF}_3$ ,  $-\text{COOH}$  and  $-\text{COOC}_{1-6}\text{alkyl}$ ;

5           B) phenyl or pyridyl fused at two adjacent ring members to a three membered hydrocarbon moiety to form a fused five membered aromatic ring, which moiety has one carbon atom replaced by  $>\text{O}$ ,  $>\text{S}$ ,  $>\text{NH}$  or  $>\text{N}(\text{C}_{1-4}\text{alkyl})$  and which moiety has up to one additional carbon atom optionally replaced by N, the fused rings optionally mono-, di- or tri-substituted with  $\text{R}'$ ;

10          C) phenyl fused at two adjacent ring members to a four membered hydrocarbon moiety to form a fused six membered aromatic ring, which moiety has one or two carbon atoms replaced by N, the fused rings optionally mono-, di- or tri-substituted with  $\text{R}'$ ;

15          D) naphthyl, optionally mono-, di- or tri-substituted with  $\text{R}'$ ;

15          E) a monocyclic aromatic hydrocarbon group having five ring atoms, having a carbon atom which is the point of attachment, having one carbon atom replaced by  $>\text{O}$ ,  $>\text{S}$ ,  $>\text{NH}$  or  $>\text{N}(\text{C}_{1-4}\text{alkyl})$ , having up to one additional carbon atoms optionally replaced by N, optionally mono- or di-substituted with  $\text{R}'$  and optionally benzo fused on the condition that two or fewer of said carbon ring atoms are replaced by a heteroatom, where the benzo fused moiety is optionally mono- di- or tri-substituted with  $\text{R}'$ ; and

20          F) a monocyclic aromatic hydrocarbon group having six ring atoms, having a carbon atom which is the point of attachment, having one or two carbon atoms replaced by N, having one N optionally oxidized to the N-oxide, optionally mono- or di-substituted with  $\text{R}'$  and optionally benzo fused, where the benzo fused moiety is optionally mono- or di-substituted with  $\text{R}'$ ;

25          R<sup>5</sup> is selected from the group consisting of;

30          I)  $-\text{COOR}^6$ , where R<sup>6</sup> is selected from the group consisting of H and  $-\text{C}_{1-4}\text{alkyl}$ ,

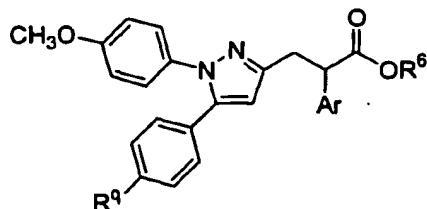
II)  $-\text{CONR}^7\text{R}^8$ , where R<sup>7</sup> and R<sup>8</sup> are independently selected from the group consisting of hydrogen,  $\text{C}_{1-6}\text{alkyl}$  and  $\text{C}_{3-6}\text{cycloalkyl}$  optionally hydroxy substituted, or R<sup>7</sup> and R<sup>8</sup> may be taken together with the

nitrogen of attachment to form an otherwise aliphatic hydrocarbon ring, said ring having 5 to 7 members, optionally having one carbon replaced with >O, =N-, >NH or >N(C<sub>1-4</sub>alkyl) and optionally having one or two unsaturated bonds in the ring; and

5        (III) tetrazolyl, [1,2,4]triazol-3-ylsulfanyl, [1,2,4]triazol-3-ylsulfonyl, [1,2,4]triazole-3-sulfinyl and [1,2,3]triazol-4-ylsulfanyl, [1,2,3]triazol-4-ylsulfonyl, [1,2,3]triazol-4-sulfinyl.

and enantiomers, diastereomers and pharmaceutically acceptable salts and esters thereof;

10      except said formula does not include compounds of the following formula, and/or racemic mixtures of such compounds:



*provisional  
these compounds*

where R<sup>9</sup>, Ar and R<sup>6</sup> are selected concurrently from the groups consisting of:

CP#	R <sup>9</sup>	Ar	R <sup>6</sup>
R1	-Cl	phenyl-	-CH <sub>2</sub> CH <sub>3</sub>
R2	-Cl	3,4-diMeO- phenyl-	-CH <sub>2</sub> CH <sub>3</sub>
R3	-Cl	4-MeO-phenyl-	-CH <sub>2</sub> CH <sub>3</sub>
R4	-CH <sub>3</sub>	2-naphthyl-	-CH <sub>2</sub> CH <sub>3</sub>
R5	-CH <sub>3</sub>	1-naphthyl-	-CH <sub>2</sub> CH <sub>3</sub>
R6	-CH <sub>3</sub>	2-MeO-phenyl-	-CH <sub>2</sub> CH <sub>3</sub>
R7	-CH <sub>3</sub>	2-pyridyl-	-CH <sub>2</sub> CH <sub>3</sub>

R8	-CH <sub>3</sub>	2-carboxymethyl-phenyl-	-CH <sub>2</sub> CH <sub>3</sub>
R9	-CH <sub>3</sub>	3-pyridyl-	-CH <sub>2</sub> CH <sub>3</sub>
R10	-Cl	4-MeO-phenyl-	-H
R11	-Cl	3,4-diMeO-phenyl-	-H
R12	-CH <sub>3</sub>	2-naphthyl-	-H
R13	-CH <sub>3</sub>	1-naphthyl-	-H
R14	-CH <sub>3</sub>	2-MeO-phenyl-	-H
R15	-CH <sub>3</sub>	2-carboxy-phenyl-	-H
R16	-CH <sub>3</sub>	4-biphenyl	-CH <sub>2</sub> CH <sub>3</sub> and
R17	-CH <sub>3</sub>	4-biphenyl	-H.

2. The compound of claim 1 wherein R<sup>1</sup>, optionally substituted with R<sup>P</sup>, is selected from the group consisting of hydrogen:

a) phenyl, 5-, 6-, 7-, 8-benzo-1,4-dioxanyl, 4-, 5-, 6-, 7-benzo-1,3-dioxolyl, 4-, 5-, 6-, 7-indolinyl, 4-, 5-, 6-, 7-isoindolinyl, 1,2,3,4-tetrahydro-quinolin-4, 5, 6 or 7-yl, 1,2,3,4-tetrahydro-isoquinolin-4, 5, 6 or 7-yl,

5 b) 4-, 5-, 6- or 7-benzoxazolyl, 4-, 5-, 6- or 7-benzothiophenyl, 4-, 5-, 6- or 7-benzofuranyl, 4-, 5-, 6- or 7-indolyl, 4-, 5-, 6- or 7-benzthiazolyl, 4-, 5-, 6- or 7-benzimidazolyl, 4-, 5-, 6- or 7-indazolyl, imidazo[1,2-a]pyridin-5, 6, 7 or 8-yl, pyrazolo[1,5-a]pyridin-4, 5, 6 or 7-yl, 1H-pyrrolo[2,3-b]pyridin-4, 5 or 6-yl, 1H-pyrrolo[3,2-c]pyridin-4, 6 or 7-yl, 1H-pyrrolo[2,3-c]pyridin-4, 5 or 7-yl, 10 1H-pyrrolo[3,2-b]pyridin-5, 6 or 7-yl,